



US006365574B2

(12) **United States Patent**  
**Singer et al.**

(10) **Patent No.:** **US 6,365,574 B2**  
(45) **Date of Patent:** **Apr. 2, 2002**

(54) **ETHANOLATE OF AZITHROMYCIN,  
PROCESS FOR MANUFACTURE, AND  
PHARMACEUTICAL COMPOSITIONS  
THEREOF**

(75) **Inventors:** **Claude Singer, Kfar Sava; Judith  
Aronhime, Rehovot, both of (IL)**

(73) **Assignee:** **Teva Pharmaceutical Industries Ltd.,  
Petah Tiqya**

(\*) **Notice:** **Subject to any disclaimer, the term of this  
patent is extended or adjusted under 35  
U.S.C. 154(b) by 0 days.**

(21) **Appl. No.:** **09/451,738**

(22) **Filed:** **Nov. 30, 1999**

**Related U.S. Application Data**

(60) **Provisional application No. 60/110,298, filed on Nov. 30,  
1998.**

(51) **Int. Cl.<sup>7</sup>** ..... **A61K 31/70; C07H 1/00;  
C07H 17/08**

(52) **U.S. Cl.** ..... **514/29; 536/7.4; 536/18.5**

(58) **Field of Search** ..... **536/7.5, 18.5;  
574/29**

(56) **References Cited**

**U.S. PATENT DOCUMENTS**

4,474,768 A 10/1984 Bright ..... 514/25  
4,517,359 A 5/1985 Kobrehel et al. .... 536/7.4

**FOREIGN PATENT DOCUMENTS**

CN 1093370 12/1993  
EP 0 298 650 1/1989  
WO WO89/00576 1/1989

*Primary Examiner*—Elli Peshev

(74) *Attorney, Agent, or Firm*—Kenyon & Kenyon

(57) **ABSTRACT**

A novel, non-hygroscopic form of azithromycin is disclosed, as well as a method for preparing it by the gradual crystallization of azithromycin from ethanol by the addition of a minimal amount of water to effect crystal formation. Pharmaceutical compositions containing this novel form of azithromycin are also disclosed.

**15 Claims, 2 Drawing Sheets**